

## INTERNATIONAL PRELIMINARY EXAMINATION REPORT



(PCT Article 36 and Rule 70)

Rec'd PCT/PTO 20 MAY 2005

Applicant's or agent's file reference RQK/P33150	<b>FOR FURTHER ACTION</b> See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/EP 03/3085	International filing date (day/month/year) 20.11.2003	Priority date (day/month/year) 21.11.2002
International Patent Classification (IPC) or both national classification and IPC C07D265/36		
Applicant GLAXO GROUP LIMITED		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 5 sheets, including this cover sheet.
- ☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).
- These annexes consist of a total of sheets.

3. This report contains indications relating to the following items:
- I ☒ Basis of the opinion
  - II ☐ Priority
  - III ☒ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
  - IV ☐ Lack of unity of invention
  - V ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
  - VI ☐ Certain documents cited
  - VII ☐ Certain defects in the international application
  - VIII ☐ Certain observations on the international application

Date of submission of the demand  25.05.2004	Date of completion of this report  05.11.2004
Name and mailing address of the international preliminary examining authority:   European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized Officer  Stix-Malaun, E  Telephone No. +49 89 2399-8057 

**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT**

International application No. **PCT/EP 03/13085**

**I. Basis of the report**

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17))*):

**Description, Pages**

1-104 as originally filed

**Claims, Numbers**

1-22 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).  
☐ the language of publication of the international application (under Rule 48.3(b)).  
☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.  
☐ filed together with the international application in computer readable form.  
☐ furnished subsequently to this Authority in written form.  
☐ furnished subsequently to this Authority in computer readable form.  
☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.  
☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:  
☐ the claims, Nos.:  
☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

*(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)*

6. Additional observations, if necessary:

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**III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability**

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application,

☒ claims Nos. 21,22

because:

☒ the said international application, or the said claims Nos. 21,22 (Industrial Applicability) relate to the following subject matter which does not require an international preliminary examination (specify):

**see separate sheet**

☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):

☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.

☐ no international search report has been established for the said claims Nos.

2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:

☐ the written form has not been furnished or does not comply with the Standard.

☐ the computer readable form has not been furnished or does not comply with the Standard.

**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

1. Statement

Novelty (N)	Yes: Claims	1-22
	No: Claims	
Inventive step (IS)	Yes: Claims	1-22
	No: Claims	
Industrial applicability (IA)	Yes: Claims	1-20
	No: Claims	

2. Citations and explanations

**see separate sheet**

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**III NON-ESTABLISHMENT**

Claims 21,22 relate to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject-matter of these claims (Article 34(4)(a)(I) PCT).

**V REASONED STATEMENT**

**1. PRIOR ART**

The documents cited in the International Search Report

D1: WO 02/34754 A (JOHNSON CHRISTOPHER NORBERT ;RAMI HARSHAD  
KANTILAL (GB); VONG ANTO) 2 May 2002 (2002-05-02)  
D2: EP-A-0 900 792 (DUPHAR INT RES) 10 March 1999 (1999-03-10)

have been considered for the examination procedure.

**2. NOVELTY**

The subject-matter of the Claims is considered to be novel for the time being (Article 33(2) PCT): The essential structural difference between the claimed compounds and those of D1 and D2 resides in the nature of A. A is a cyclic moiety directly bound to the piperazine unit contrary to the compounds of D1 and D2.

The applicant himself has referred to compounds on p. 1, paragraph 2, which fall under the scope of compounds according to claim 17. According to the applicant's information they are of medical use. Thus they would be novelty destroying for the first medical use claim 17. However, neither at the stage of search nor examination the cited document in said paragraph was available to the examiners. For the time being is therefore ignored.

In the regional phase the applicant will be asked to forward said document in order to give a final answer to the question of novelty and in order to find explanations for all provisos.

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**3. INVENTIVE STEP**

The subject-matter of the claims fulfil the requirements of Article 33(3) PCT for the following reasons:

The technical problem may be seen in the provision of novel compounds exhibiting a pharmaceutical activity in treatment of CNS related diseases.

The closest documents of the prior art are represented by D1 and D2. D1 as well as D2 disclose compounds being applied in the same pharmaceutical field as presently claimed compounds. The presently claimed compounds differ from those of D1 or D2 as already explained under item 2.

Neither through variation within said documents nor through combination of the both documents the skilled person arrives at the presently claimed solution.

Accordingly the presently claimed structures are not evident vis à vis the prior art.

The scope of the claims seems to represent a reasonable generalisation of the tested examples.

Thus inventive step can be acknowledged.

**4. INDUSTRIAL APPLICABILITY:**

For the assessment of the present Claims 15-22 on the question whether they are industrially applicable, no unified criteria exist in the PCT Contracting States. The patentability can also be dependent upon the formulation of the claims. The EPO, for example, does not recognize as industrially applicable the subject-matter of claims to the use of a compound in medical treatment, but may allow, however, claims to a known compound for first use in medical treatment and the use of such a compound for the manufacture of a medicament for a new medical treatment.